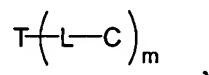


AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions of claims in the application.

1. (Currently amended) A compound of the following formula:



wherein

T is a transportophore,

L is a bond or a linker having a molecular weight up to 240 dalton,

C is a non-antibiotic therapeutic agent, and

m is 1, 2, 3, 4, 5, 6, 7, or 8,

in which the transportophore has an immune selectivity ratio of at least 2, the transportophore is covalently bonded to the non-antibiotic therapeutic agent via the bond or the linker, the transportophore is an amphiphilic molecule having a pKa value of 6.5 to 9.5, and the compound has an immune selectivity ratio of at least 2.

2. (Cancelled)

3. (Original) The compound of claim 1, wherein the transportophore is a cyclic or heterocyclic molecule.

4. (Original) The compound of claim 3, wherein the cyclic or heterocyclic molecule has an attached sugar.

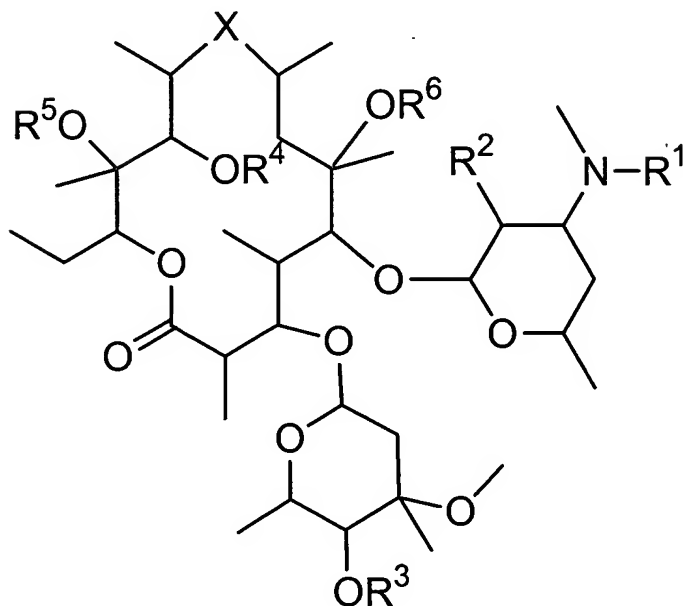
5. (Currently amended) The compound of claim 3, wherein the cyclic or ~~heterocyclic~~ heterocyclic molecule is a macrolactone or macroether.

6. (Original) The compound of claim 5, wherein the macrolactone or macroether has an attached sugar.

7. (Currently amended) The compound of claim 3, wherein the cyclic or ~~heterocyclic~~ heterocyclic molecule is a macrolide or ketolide having an amino sugar.

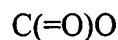
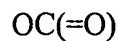
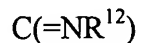
8. (Currently amended) The compound of claim 7, wherein the cyclic or ~~heterocyclic~~ heterocyclic molecule is a macrolide having mono-, di-, or tri-basic groups.

9. (Original) The compound of claim 1, wherein the compound is



wherein

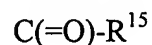
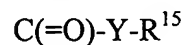
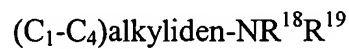
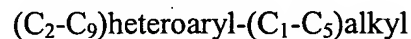
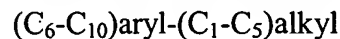
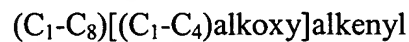
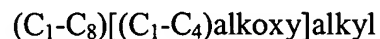
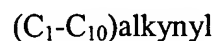
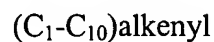
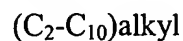
$X = \text{N}(\text{R}^7)\text{-CH}_2$
 $\text{CH}_2\text{-N}(\text{R}^7)$
 C(=O)
 $\text{C(=NOR}^8\text{)}$
 $\text{CH(OR}^9\text{)}$



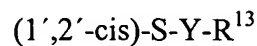
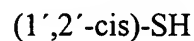
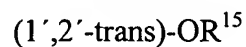
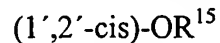
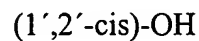
Y = independently linker

Z = $\text{C}(=\text{O})-$
 $\text{CH}(\text{R}^{16})$

$\text{R}^1 = \text{H}$



$\text{R}^2 = \text{H}$



or the R^1 and R^2 bearing atoms are connected via a $-\text{OC}(=\text{O})\text{CHR}^{16}-$ element

$R^3 = H$

$C(=O)-Y-R^{15}$

$C(=O)-R^{15}$

$R^4 = H$

$C(=O)-Y-R^{15}$

$C(=O)-R^{15}$

$R^5 = H$

or R^4, R^5 are connected by Z

$R^6 = H$

CH_3

$R^7 = H$

CH_3

$Y-R^{13}$

$C(=O)-Y-R^{15}$

$C(=O)-R^{15}$

$R^8 = H$

$Y-R^{13}$

R^{13}

$C(=O)-R^{17}$

$(C_1-C_{10})alkyl$

$(C_1-C_{10})alkenyl$

$(C_1-C_{10})alkynyl$

$(C_1-C_8)[(C_1-C_4)alkoxy]alkyl$

$(C_1-C_8)[(C_1-C_4)alkoxy]alkenyl$

$(C_6-C_{10})aryl-(C_1-C_5)alkyl$

$(C_2-C_9)heteroaryl-(C_1-C_5)alkyl$

$(C_1-C_4)alkyliden-NR^{18}R^{19}$

wherein alkyl, alkenyl, alkynyl, aryl, and heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, -NR¹⁸R¹⁹, R¹⁸C(=O)-, R¹⁸C(=O)O-, R¹⁸OC(=O)O-, R¹⁸NHC(=O)-, R¹⁸C(=O)NH-, R¹⁸R¹⁹NC(=O)-and R¹⁸OC(=O)-

R⁹ = H

(C₁-C₁₀)alkyl

(C₁-C₁₀)alkenyl

(C₁-C₁₀)alkynyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl

(C₆-C₁₀)aryl-(C₁-C₅)alkyl

(C₂-C₉)heteroaryl-(C₁-C₅)alkyl

wherein alkyl, alkenyl, alkynyl, aryl, and heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, -NR¹⁸R¹⁹, R¹⁸C(=O)-, R¹⁸C(=O)O-, R¹⁸OC(=O)O-, R¹⁸NHC(=O)-, R¹⁸C(=O)NH-, R¹⁸R¹⁹NC(=O)-and R¹⁸OC(=O)-

R¹⁰, R¹¹ = independently H

(C₁-C₁₀)alkyl

(C₁-C₁₀)alkenyl

(C₁-C₁₀)alkynyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkyl

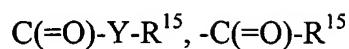
(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl

(C₆-C₁₀)aryl-(C₁-C₅)alkyl

(C₂-C₉)heteroaryl-(C₁-C₅)alkyl

(C₁-C₄)alkyliden-NR¹⁸R¹⁹

or R¹⁰ = H and R¹¹ = -Y-R¹³



$\text{R}^{12} = \text{H}$

(C₁-C₁₀)alkyl

(C₁-C₁₀)alkenyl

(C₁-C₁₀)alkynyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl

(C₆-C₁₀)aryl-(C₁-C₅)alkyl

(C₂-C₉)heteroaryl-(C₁-C₅)alkyl

(C₁-C₄)alkyliden-NR¹⁸R¹⁹

Y-R¹³

$\text{R}^{13} =$ independently, therapeutic agent

$\text{R}^{15} =$ independently, therapeutic agent

$\text{R}^{16} = \text{H}$

CH₃

(C₂-C₁₀)alkyl

(C₁-C₁₀)alkenyl

(C₁-C₁₀)alkynyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl

(C₆-C₁₀)aryl-(C₁-C₅)alkyl

(C₂-C₉)heteroaryl-(C₁-C₅)alkyl

(C₁-C₄)alkyliden-NR¹⁸R¹⁹

Y-R¹³,

$\text{R}^{17} = \text{O-R}^{20}\text{-aryl}$

optionally substituted by -X'-Y- therapeutic agent, X'-therapeutic agent

wherein X' is S, O, or NH

$\text{R}^{18}, \text{R}^{19} =$ independently H

(C₁-C₁₀)alkyl

(C₁-C₁₀)alkenyl

(C₁-C₁₀)alkynyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkyl

(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl

(C₆-C₁₀)aryl-(C₁-C₅)alkyl

(C₂-C₉)heteroaryl-(C₁-C₅)alkyl

R²⁰ = independently,

Halogen

(C₁-C₃)alkyl

NO₂

CN

OCH₃

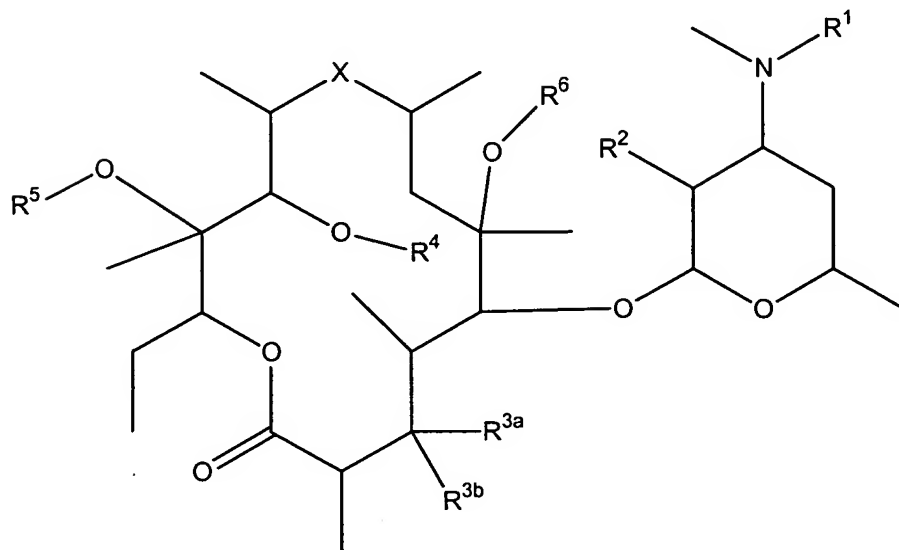
N(CH₃)₂

N₃

SH

S(C₁-C₄)alkyl.

10. (Currently amended) The compound of ~~claim 1~~ claim 1, wherein the compound is



wherein:

X = N(R⁷)-CH₂
 CH₂-N(R⁷)
 C(=O)
 C(=NOR⁸)
 CH(OR⁹)
 CH(NR¹⁰R¹¹)
 C(=NR¹²)
 OC(=O)
 C(=O)O

Y = independently, linker

Z = C(=O)-
 CH(R¹⁶)-

R¹ = H
 CH₃

(C_2-C_{10}) alkyl
 (C_1-C_{10}) alkenyl
 (C_1-C_{10}) alkynyl
 $(C_1-C_8)[(C_1-C_4)$ alkoxy]alkyl
 $(C_1-C_8)[(C_1-C_4)$ alkoxy]alkenyl
 (C_6-C_{10}) aryl- (C_1-C_5) alkyl
 (C_2-C_9) heteroaryl- (C_1-C_5) alkyl
 (C_1-C_4) alkyliden- $NR^{18}R^{19}$
 $Y-R^{13}$
 $C(=O)-Y-R^{15}$
 $C(=O)-R^{15}$
 $S(=O)_k(C_1-C_{10})$ alkyl
 $S(=O)_k(C_1-C_{10})$ alkenyl
 $S(=O)_k(C_1-C_{10})$ alkynyl
 $S(=O)_k(C_6-C_{10})$ aryl
 $S(=O)_k(C_2-C_9)$ heteroaryl
 $S(=O)_k-Y-R^{15}$
 $S(=O)_k-R^{15}$

wherein k is 0, 1 or 2 and alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl and heteroaryl can optionally be substituted by one to three halogen, cyano, hydroxy, (C_1-C_4) alkoxy, nitro, (C_1-C_6) alkyl, (C_1-C_6) alkenyl, (C_1-C_6) alkynyl, (C_3-C_7) cycloalkyl, (C_1-C_6) heterocycloalkyl, (C_6-C_{10}) aryl, (C_1-C_9) heteroaryl, $NR^{18}R^{19}$, $R^{18}C(=O)-$, $R^{18}C(=O)O-$, $R^{18}OC(=O)-$, $R^{18}C(=O)NH-$, $R^{18}NHC(=O)-$, $R^{18}R^{19}NC(=O)-$ or $R^{18}OC(=O)-O-$

$R^2 = H$

$(1',2'-cis)-OH$
 $(1',2'-trans)-OH$
 $(1',2'-cis)-OR^{15}$
 $(1',2'-trans)-OR^{15}$

(1',2'-cis)-SH

(1',2'-cis)-S-Y-R¹³

or the R¹ and R² bearing atoms are connected via a -OC(=O)CHR¹⁶- element

R^{3a}, R^{3b} = independently H

R¹

OH

OR¹¹

NR¹⁰R¹¹

or R^{3a} = R^{3b} = (=O),

(=NR¹)

O(CH₂)_kO- wherein k is 2 or 3

R⁴ = H

C(=O)-Y-R¹⁵

C(=O)-R¹⁵

R⁵ = H

or R⁴, R⁵ are connected by -Z-

R⁶ = H

CH₃

R⁷ = H

CH₃

Y-R¹³

C(=O)-Y-R¹⁵

C(=O)-R¹⁵

R⁸ = H

Y-R¹³

C(=O)-R¹⁷

R⁹ = H

(C₁-C₁₀)alkyl

(C₁-C₁₀)alkenyl
 (C₁-C₁₀)alkynyl
 (C₁-C₈)[(C₁-C₄)alkoxy]alkyl
 (C₁-C₈)[(C₁-C₄)alkoxy]alkenyl
 (C₆-C₁₀)aryl-(C₁-C₅)alkyl
 (C₂-C₉)heteroaryl-(C₁-C₅)alkyl

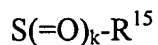
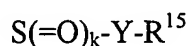
R¹⁰, R¹¹ = independently H

(C₁-C₁₀)alkyl
 (C₁-C₁₀)alkenyl
 (C₁-C₁₀)alkynyl
 (C₃-C₁₀)cycloalkyl
 (C₁-C₉)heterocycloalkyl
 (C₆-C₁₀)aryl
 (C₂-C₉)heteroaryl

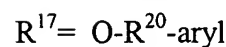
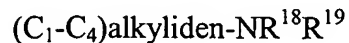
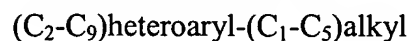
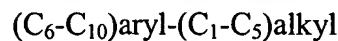
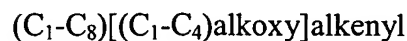
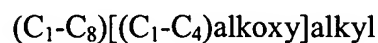
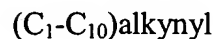
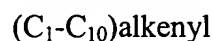
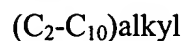
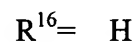
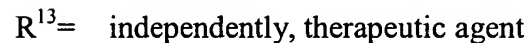
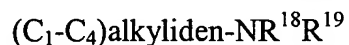
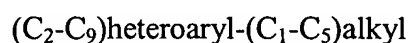
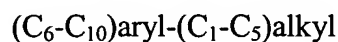
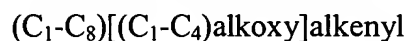
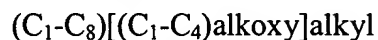
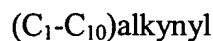
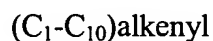
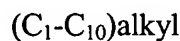
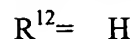
wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl are optionally substituted by one to three halogen, cyano, hydroxy, (C₁-C₄)alkyloxy, nitro, (C₁-C₆)alkyl, (C₁-C₆)alkenyl, (C₁-C₆)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, NR¹⁸R¹⁹, R¹⁸C(=O)-, R¹⁸C(=O)O-, R¹⁸OC(=O)-, R¹⁸C(=O)NH-, R¹⁸NHC(=O)-, R¹⁸R¹⁹NC(=O)- or R¹⁸OC(=O)-O-
 or R¹⁰ = H and

R¹¹ = Y-R¹³

C(=O)-Y-R¹⁵
 C(=O)-R¹⁵
 S(=O)_k(C₁-C₁₀)alkyl
 S(=O)_k(C₁-C₁₀)alkenyl
 S(=O)_k(C₁-C₁₀)alkynyl
 S(=O)_k(C₆-C₁₀)aryl
 S(=O)_k(C₂-C₉)heteroaryl



wherein k is 0, 1 or 2 and alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl and heteroaryl can be substituted as defined above[.]



optionally substituted by $-X'-Y$ -a therapeutic agent, X' -a therapeutic agent
wherein X' is

S, O, NH

$R^{18}, R^{19} =$ independently H
(C₁-C₁₀)alkyl
(C₁-C₁₀)alkenyl
(C₁-C₁₀)alkynyl
(C₁-C₈)[(C₁-C₄)alkoxy]alkyl
(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl
(C₆-C₁₀)aryl-(C₁-C₅)alkyl
(C₂-C₉)heteroaryl-(C₁-C₅)alkyl

$R^{20} =$ independently,

Halogen

(C₁-C₃)alkyl

NO₂

CN

OCH₃

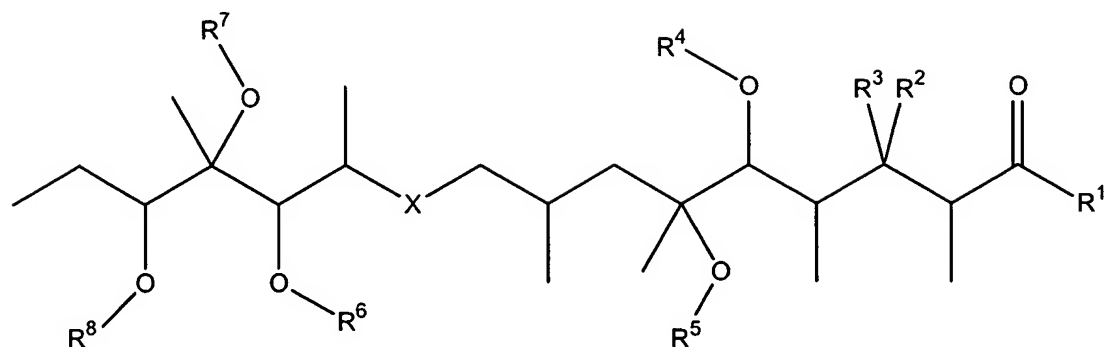
N(CH₃)₂

N₃

SH

S(C₁-C₄)alkyl:

11. (Withdrawn) The compound of claim 1, wherein the compound is



wherein

X = N(R⁹)-CH₂

CH₂-N(R⁹)

C(=O)

C(=NOR¹⁰)

C(OR¹¹)H

CH(NR¹²R¹³)

C(=NR¹⁴)

OC(=O)

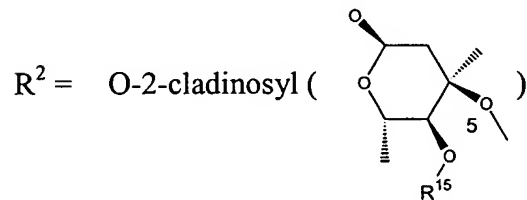
C(=O)O

Y = independently, linker

R¹ = OR¹⁷

NR¹⁷R¹⁸,

or R¹ is connected to the oxygen bearing R⁴ or R⁵ forming a lactone or is connected to a suitable substituent in R² forming a lactone or lactam,



H

X', wherein X' = halogen

azido

nitro

cyano

OR¹⁷

OR²²

NR¹⁷R¹⁸

SR¹⁷ (C₁-C₆)alkyl

(C₁-C₆)alkenyl

(C₁-C₆)alkynyl

(C₃-C₁₀)cycloalkyl

(C₁-C₉)heterocycloalkyl

(C₆-C₁₀)aryl

(C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y-therapeutic agent or -therapeutic agent,

R³ = H

(C₁-C₆)alkyl

(C₁-C₆)alkenyl

(C₁-C₆)alkynyl

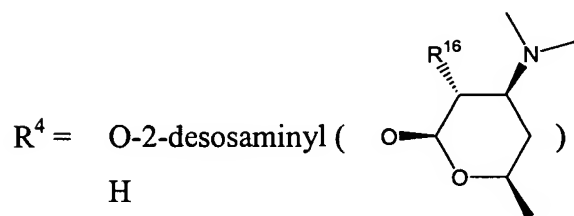
(C₃-C₁₀)cycloalkyl

(C₁-C₉)heterocycloalkyl

(C₆-C₁₀)aryl

(C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, or R²⁰R²¹N-



H

C(=O)R¹⁷

Y- therapeutic agent

therapeutic agent

S(=O)₂R¹⁷ providing R¹⁷ is not hydrogen

C(=O)NR¹⁷R¹⁸ (C₁-C₆)alkyl

(C₁-C₆)alkenyl

(C₁-C₆)alkynyl

(C₃-C₁₀)cycloalkyl

(C₁-C₉)heterocycloalkyl

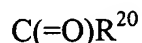
(C₆-C₁₀)aryl

(C₁-C₉)heteroaryl

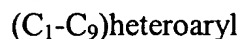
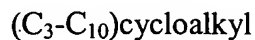
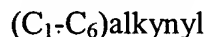
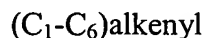
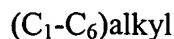
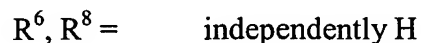
wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y-therapeutic agent or -therapeutic agent,

or R⁴ is connected to a suitable R² containing a N or a O by -C(=O), S(=O)_n

wherein $n = 1$ or 2 , $-\text{CR}^{20}\text{R}^{17}-$, $\text{CR}^{20}(-\text{Y}-\text{therapeutic agent})-$, $-\text{CR}^{20}(-\text{therapeutic agent})-$ forming in dependence of R^2 a 6 or 7-membered ring,

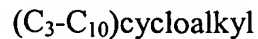
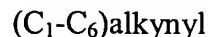
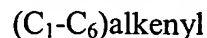
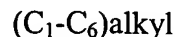
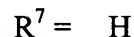


or R^4 , R^5 are connected by $\text{C}(=\text{O})$, $\text{S}(=\text{O})_n$ wherein $n = 1$ or 2 , $-\text{CR}^{20}\text{R}^{17}-$, $\text{CR}^{20}(-\text{Y}-\text{therapeutic agent})-$, $-\text{CR}^{20}(-\text{therapeutic agent})-$



wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, $(\text{C}_1-\text{C}_4)\text{alkyl}$, $(\text{C}_1-\text{C}_4)\text{alkenyl}$, $(\text{C}_1-\text{C}_4)\text{alkynyl}$, $(\text{C}_3-\text{C}_7)\text{cycloalkyl}$, $(\text{C}_1-\text{C}_6)\text{heterocycloalkyl}$, $(\text{C}_6-\text{C}_{10})\text{aryl}$, $(\text{C}_1-\text{C}_9)\text{heteroaryl}$, $(\text{C}_1-\text{C}_4)\text{alkoxy}$, hydroxy, nitro, cyano, azido, mercapto, $\text{R}^{20}\text{R}^{21}\text{N}-$, $\text{R}^{20}\text{C}(=\text{O})-$, $\text{R}^{20}\text{C}(=\text{O})\text{O}-$, $\text{R}^{20}\text{OC}(=\text{O})-$, $\text{R}^{20}\text{NHC}(=\text{O})-$, $\text{R}^{20}\text{C}(=\text{O})\text{NH}-$, $\text{R}^{20}\text{R}^{21}\text{NC}(=\text{O})-$, and $\text{R}^{20}\text{OC}(=\text{O})\text{O}-$, $-\text{Y}-\text{therapeutic agent}$ or $-\text{therapeutic agent}$,

or R^6 , $\text{R}^8 = \text{independently } -\text{C}(=\text{O})\text{R}^{17}$, $-\text{Y}-\text{therapeutic agent}$, $-\text{therapeutic agent}$, $-\text{S}(=\text{O})_2\text{R}^{17}$ providing R^{17} is not hydrogen, $-\text{C}(=\text{O})\text{NR}^{17}\text{R}^{18}$,



(C₆-C₁₀)aryl

(C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y-therapeutic agent or -therapeutic agent,

or two of each R⁶, R⁷, R⁸ are connected by -C(=O), S(=O)_n wherein n = 1 or 2, -CR²⁰R¹⁷-, CR²⁰(-Y-therapeutic agent)-, -CR²⁰(-therapeutic agent)-,

R⁹ = H
CH₃
Y-therapeutic agent
therapeutic agent
(C₁-C₆)alkyl
(C₁-C₆)alkenyl
(C₁-C₆)alkynyl,

wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y-therapeutic agent or -therapeutic agent,

R¹⁰ = C(=O)-aryl
therapeutic agent,
H
(C₁-C₆)alkyl
(C₁-C₆)alkenyl
(C₁-C₆)alkynyl,

wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y-therapeutic agent or - therapeutic agent

R¹¹ = H

(C₁-C₆)alkyl

(C₁-C₆)alkenyl

(C₁-C₆)alkynyl,

wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, R²⁰OC(=O)O-, -Y- therapeutic agent or -therapeutic agent, or R¹¹ = -Y- therapeutic agent, - therapeutic agent, -C(=O)R¹⁷

R¹², R¹³ = independently H

(C₁-C₆)alkyl

(C₁-C₆)alkenyl

(C₁-C₆)alkynyl

(C₃-C₁₀)cycloalkyl

(C₁-C₉)heterocycloalkyl

(C₆-C₁₀)aryl

(C₁-C₉)heteroaryl,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-,

$R^{20}C(=O)O-$, $R^{20}OC(=O)-$, $R^{20}NHC(=O)-$, $R^{20}C(=O)NH-$, $R^{20}R^{21}NC(=O)-$, $R^{20}OC(=O)O-$, -Y-
therapeutic agent or -therapeutic agent,

or R^{12} , R^{13} = independently -C(=O) R^{17} , -Y- therapeutic agent, - therapeutic agent,
-S(=O) $_2R^{17}$ providing R^{17} is not hydrogen, -C(=O)NR¹⁷ R^{18}

R^{14} = therapeutic agent

H

(C₁-C₆)alkyl

(C₁-C₆)alkenyl

(C₁-C₆)alkynyl

(C₃-C₁₀)cycloalkyl

(C₁-C₉)heterocycloalkyl

(C₆-C₁₀)aryl

(C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are
optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl,
(C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-
C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, $R^{20}R^{21}N-$, $R^{20}C(=O)-$,
 $R^{20}C(=O)O-$, $R^{20}OC(=O)-$, $R^{20}NHC(=O)-$, $R^{20}C(=O)NH-$, $R^{20}R^{21}NC(=O)-$, $R^{20}OC(=O)O-$, -Y-
therapeutic agent or -therapeutic agent,

R^{15} = H

C(=O) R^{17}

Y- therapeutic agent,

therapeutic agent,

S(=O) $_2R^{17}$ providing R^{17} is not hydrogen

C(=O)NR¹⁷ R^{18}

(C₁-C₆)alkyl

(C₁-C₆)alkenyl

(C₁-C₆)alkynyl

(C₃-C₁₀)cycloalkyl
(C₁-C₉)heterocycloalkyl
(C₆-C₁₀)aryl
(C₁-C₉)heteroaryl,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y-therapeutic agent or -therapeutic agent,

R¹⁶ = H

OR¹⁷

OR²²

R¹⁷, R¹⁸ = independently H

(C₁-C₆)alkyl
(C₁-C₆)alkenyl
(C₁-C₆)alkynyl
(C₃-C₁₀)cycloalkyl
(C₁-C₉)heterocycloalkyl
(C₆-C₁₀)aryl
(C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y-therapeutic agent or -therapeutic agent,

or provided that connected to a nitrogen, R^{17} , R^{18} may form a cyclic structure of 4 to 7 members (including the nitrogen). R^{17} and R^{18} then can represent a fragment from the type of -
 $[C(AB)]_m-\Xi_n-[C(DE)]_o-\Psi_p-[C(GJ)]_q$ wherein m, n, o, p and q independently are 0, 1, 2, 3, 4, 5, or 6, Ξ and Ψ independently are -O-, -S-, -NK- and A, B, D, E, G, J, and K independently are hydrogen, (C₁-C₄) alkyl, (C₁-C₄) alkenyl, (C₁-C₄) alkynyl, (C₃-C₇) cycloalkyl, (C₁-C₆) heterocycloalkyl, (C₆-C₁₀) aryl, (C₁-C₉) heteroaryl, (C₁-C₄) alkoxy, hydroxy, nitro, cyano, azido, mercapto, $R^{20}R^{21}N$ -, $R^{20}C(=O)$ -, $R^{20}C(=O)O$ -, $R^{20}OC(=O)$ -, $R^{20}NHC(=O)$ -, $R^{20}C(=O)NH$ -, $R^{20}R^{21}NC(=O)$ -, and $R^{20}OC(=O)O$ -

R^{20} , R^{21} = independently H

(C₁-C₆) alkyl

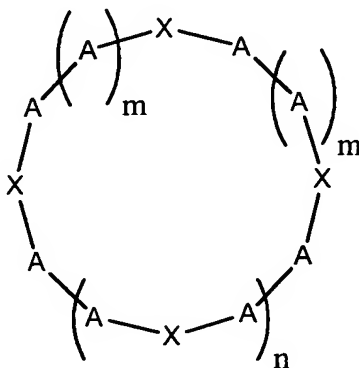
R^{22} = $C(=O)R^{17}$

Y- therapeutic agent

therapeutic agent,

$S(=O)_2R^{17}$ providing R^{17} is not hydrogen, $-C(=O)NR^{17}R^{18}$.

12. (Withdrawn) The compound of claim 1, wherein the compound is



wherein:

m = independently, 0, 1, 2, 3

n = 0 - 7

X = independently, O

S

Se

NR¹

PR¹

with the proviso, that at least one X = -NR¹ -

A = independently, CH₂

CHR²

CR²R³

C(=O)

with the proviso, that at least one X = -NR¹ - is not an amide

R¹ = independently, H

(C₁-C₁₀)alkyl, optionally substituted by fluoro, cyano, R⁴, R⁴O₂C, R⁴C(=O)NH and

R⁴S(=O)_k wherein k is 0, 1 or 2

R⁴C(=O), R⁴S(=O)_k wherein k is 0, 1 or 2

R², R³ = independently NH₂

NHR¹

NR¹R⁵

OH,

OR⁴

R⁴C(=O) (C₁-C₆)alkyl

(C₂-C₁₂)alkenyl

(C₂-C₁₂)alkynyl

(C₃-C₁₀)cycloalkyl(C₁-C₆)alkyl

(C₂-C₉)heterocycloalkyl(C₁-C₆)alkyl

(C₆-C₁₀)aryl(C₁-C₆)alkyl

(C₂-C₉)heteroaryl(C₁-C₆)alkyl,

wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, -C(=O)-OR⁸, -

$C(=O)N(H)R^8$, (C_6-C_{10}) aryl, (C_2-C_9) heteroaryl, $N^*R^5R^6R^7$ wherein * is no or a positive charge, one or two of R^2 , R^3 can be a directly coupled therapeutic agent,

$R^4 =$ independently,
NH₂
NHR⁹
NR⁹R⁵
OH
OR⁹
(C₁-C₆)alkyl
(C₂-C₁₂)alkenyl
(C₂-C₁₂)alkynyl
(C₃-C₁₀)cycloalkyl(C₁-C₆)alkyl
(C₂-C₉)heterocycloalkyl(C₁-C₆)alkyl
(C₆-C₁₀)aryl(C₁-C₆)alkyl
(C₂-C₉)heteroaryl(C₁-C₆)alkyl,

wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, R^8 , $-C(=O)-OR^8$, $-C(=O)N(H)R^8$, (C_6-C_{10}) aryl, (C_2-C_9) heteroaryl, $N^*R^5R^6R^7$ wherein * is no or a positive charge, or a therapeutic agent,

$R^5, R^6 =$ independently H
(C₁-C₆), optionally substituted by hydroxy
(C₆-C₁₀)aryl
(C₂-C₉)heteroaryl

$R^7 =$ independently,
lone electron pair
CH₃
C₂H₅
C₃H₇

CH₂-C₆H₅
R⁸ = independently, therapeutic agent
R⁹ = independently,
(C₁-C₆) alkyl
(C₂-C₁₂)alkenyl
(C₂-C₁₂)alkynyl
(C₃-C₁₀)cycloalkyl(C₁-C₆)alkyl
(C₂-C₉)heterocycloalkyl(C₁-C₆)alkyl
(C₆-C₁₀)aryl(C₁-C₆)alkyl or
(C₂-C₉)heteroaryl(C₁-C₆)alkyl,

wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, R⁸, -C(=O)-OR⁸, -C(=O)N(H)R⁸, (C₆-C₁₀)aryl, (C₂-C₉)heteroaryl, N*R⁵R⁶R⁷ wherein * is no or a positive charge, or a therapeutic agent.

13. (Original) The compound of claim 1, wherein the linker is

(C₁-C₈)alkyl,
(C₁-C₈)alkenyl,
(C₁-C₈)alkynyl,
(C₃-C₁₀)cycloalkyl,
(C₆-C₁₀)aryl,
(C₂-C₉)heteroalkyl, or
(C₂-C₉)heteroaryl,

wherein alkyl-, alkenyl, alkynyl, cycloalkyl, aryl or heteroaryl spacing elements are optionally substituted by (C₁-C₆)alkyl, 1-4 halogens, (C₁-C₄)alkoxy, (C₁-C₄)alkoxycarbonyl, hydroxy, amino, (C₁-C₄)alkylamino, (C₁-C₄)dialkylamino, (C₃-C₁₀)cycloalkyl, (C₁-C₆)alkylcarbonyloxy, (C₁-C₆)alkylcarbonylamido, (C₁-C₄)alkylamidocarbonyl, (C₁-

C₄)dialkylamidocarbonyl, nitro, cyano, (C₁-C₄)alkylimino, mercapto or (C₁-C₄)alkylmercapto.

14. (Withdrawn) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-inflammatory agent.

15. (Withdrawn) The compound of claim 1, wherein the anti-inflammatory agent is a protein kinase inhibitor, a protease inhibitor, or an HMGCoA reductase inhibitor.

16. (Withdrawn) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-infectious agent.

17. (Withdrawn) The compound of claim 1, wherein the anti-infectious agent is a protease inhibitor.

18. (Withdrawn) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-cancer agent.

19. (Withdrawn) The compound of claim 1, wherein the non-antibiotic therapeutic agent is a fluorescent molecule useful in diagnostic or exploratory applications.

20. (Withdrawn) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an immune-suppressant agent.

21. (Withdrawn) The compound of claim 1, wherein the immune-suppressant agent is an analog of Vitamin D or a statin.

22. (Withdrawn) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an agent for treating a hematopoietic disorder.

23. (Withdrawn) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an agent for treating a metabolic disease.

24. (Withdrawn) The compound of claim 1, wherein the metabolic disease is excessive coagulation, or hypercholesteremia.

25. (Withdrawn) A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

26. (Withdrawn) A method of treating an inflammatory disorder, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-inflammatory agent.

27. (Withdrawn) A method of treating an infectious disease, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-infectious agent.

28. (Withdrawn) A method of treating cancer, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-cancer agent.

29. (Withdrawn) A method of treating allergy, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an allergy-suppressive agent.

30. (Withdrawn) A method of treating an immune disorder, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an immune-suppressant agent.